

30/11/2004 10752342R>

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NEWS 8 OCT 28 KOREAPAT now available on STN
NEWS 9 NOV 18 Current-awareness alerts, saved answer sets, and current
search transcripts to be affected by CERAB, COMPUAB, ELCOM,
and SOLIDSTATE reloads

NEWS EXPRESS OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
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NEWS INTER General Internet Information
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NEWS WWW CAS World Wide Web Site (general information)

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 11:34:11 ON 30 NOV 2004

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 11:34:28 ON 30 NOV 2004

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STRUCTURE FILE UPDATES: 28 NOV 2004 HIGHEST RN 790189-55-8
DICTIONARY FILE UPDATES: 28 NOV 2004 HIGHEST RN 790189-55-8

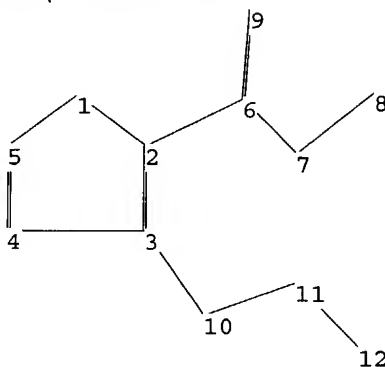
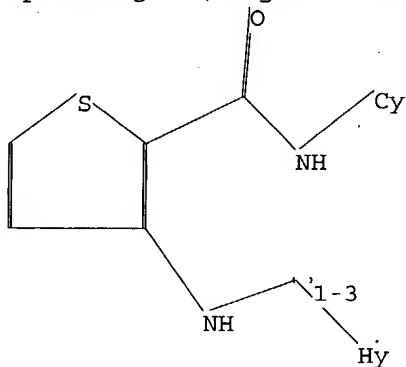
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>
Uploading C:\Program Files\Stnexp\Queries\10752342.str



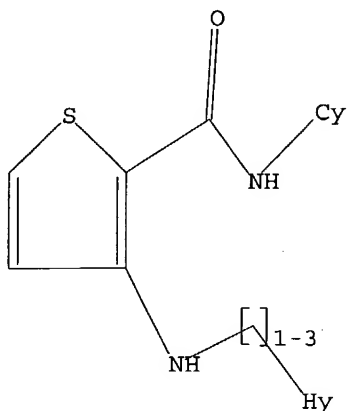
chain nodes :
6 7 8 9 10 11 12
ring nodes :
1 2 3 4 5
chain bonds :
2-6 3-10 6-7 6-9 7-8 10-11 11-12
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
3-10 6-7 6-9 7-8 10-11 11-12
exact bonds :
1-2 1-5 2-3 2-6 3-4 4-5
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:Atom 9:CLASS 10:CLASS
11:CLASS 12:Atom

L1 STRUCTURE UPLOADED

30/11/2004 10752342R>

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
FULL SEARCH INITIATED 11:35:10 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1136 TO ITERATE

100.0% PROCESSED 1136 ITERATIONS 26 ANSWERS
SEARCH TIME: 00.00.01

L2 26 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS
FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
155.84	156.05

FILE 'CAPLUS' ENTERED AT 11:35:30 ON 30 NOV 2004
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FILE COVERS 1907 - 30 Nov 2004 VOL 141 ISS 23
FILE LAST UPDATED: 28 Nov 2004 (20041128/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12

L3 5 L2

=> d ibib abs histr tot

'HISTR' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS ----- GI and AB
 ALL ----- BIB, AB, IND, RE
 APPS ----- AI, PRAI
 BIB ----- AN, plus Bibliographic Data and PI table (default)
 CAN ----- List of CA abstract numbers without answer numbers
 CBIB ----- AN, plus Compressed Bibliographic Data
 DALL ----- ALL, delimited (end of each field identified)
 DMAX ----- MAX, delimited for post-processing
 FAM ----- AN, PI and PRAI in table, plus Patent Family data
 FBIB ----- AN, BIB, plus Patent FAM
 IND ----- Indexing data
 IPC ----- International Patent Classifications
 MAX ----- ALL, plus Patent FAM, RE
 PATS ----- PI, SO
 SAM ----- CC, SX, TI, ST, IT
 SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
 SCAN must be entered on the same line as the DISPLAY,
 e.g., D SCAN or DISPLAY SCAN)
 STD ----- BIB, IPC, and NCL

 IABS ----- ABS, indented with text labels
 IALL ----- ALL, indented with text labels
 IBIB ----- BIB, indented with text labels
 IMAX ----- MAX, indented with text labels
 ISTD ----- STD, indented with text labels

 OBIB ----- AN, plus Bibliographic Data (original)
 OIBIB ----- OBIB, indented with text labels

 SBIB ----- BIB, no citations
 SIBIB ----- IBIB, no citations

 HIT ----- Fields containing hit terms
 HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
 containing hit terms
 HITRN ----- HIT RN and its text modification
 HITSTR ----- HIT RN, its text modification, its CA index name, and
 its structure diagram
 HITSEQ ----- HIT RN, its text modification, its CA index name, its
 structure diagram, plus NTE and SEQ fields
 FHITSTR ----- First HIT RN, its text modification, its CA index name, and
 its structure diagram
 FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
 structure diagram, plus NTE and SEQ fields
 KWIC ----- Hit term plus 20 words on either side
 OCC ----- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST;

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TI,IND; TI,SO. You may specify the format fields in any order and the information will be displayed in the same order as the format specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR, FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC to view a specified Accession Number.

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The following are valid formats:

ABS ----- GI and AB
ALL ----- BIB, AB, IND, RE
APPS ----- AI, PRAI
BIB ----- AN, plus Bibliographic Data and PI table (default)
CAN ----- List of CA abstract numbers without answer numbers
CBIB ----- AN, plus Compressed Bibliographic Data
DALL ----- ALL, delimited (end of each field identified)
DMAX ----- MAX, delimited for post-processing
FAM ----- AN, PI and PRAI in table, plus Patent Family data
FBIB ----- AN, BIB, plus Patent FAM
IND ----- Indexing data
IPC ----- International Patent Classifications
MAX ----- ALL, plus Patent FAM, RE
PATS ----- PI, SO
SAM ----- CC, SX, TI, ST, IT
SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
SCAN must be entered on the same line as the DISPLAY,
e.g., D SCAN or DISPLAY SCAN)
STD ----- BIB, IPC, and NCL

IABS ----- ABS, indented with text labels
IALL ----- ALL, indented with text labels
IBIB ----- BIB, indented with text labels
IMAX ----- MAX, indented with text labels
ISTD ----- STD, indented with text labels

OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels

SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations

HIT ----- Fields containing hit terms
HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
containing hit terms
HITRN ----- HIT RN and its text modification
HITSTR ----- HIT RN, its text modification, its CA index name, and
its structure diagram
HITSEQ ----- HIT RN, its text modification, its CA index name, its
structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
structure diagram, plus NTE and SEQ fields
KWIC ----- Hit term plus 20 words on either side
OCC ----- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field

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codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST; TI,IND; TI,SO. You may specify the format fields in any order and the information will be displayed in the same order as the format specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR, FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC to view a specified Accession Number.
ENTER DISPLAY FORMAT (BIB):bib

30/11/2004 10752342R>

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STM
 AN 2004:610149 CAPLUS
 DN 141:157028
 TI Preparation of 2-carboxamido-3-aminothiophene derivatives for treatment of hyperproliferative disorder
 IN Wyne, Graham Michael; Doyle, Kevin; Ahmed, Saleh; Li, An-hu; Kelly, John Fraser; Rasamison, Chrystelle; Pegg, Neil Anthony; Saba, Imaad; Thomas, Claire; Smyth, Don; Sadiq, Shazia; Newton, Gary; Dawson, Graham; Crew, Andrew Philip; Castelano, Arlindo Lucas
 PA Osi Pharmaceuticals, Inc., USA
 SO PCT Int. Appl., 49 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004063330	A2	20040729	WO 2004-US1188	20040106
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, FR, GB, GD, GE, GH, GI, GR, GU, HA, HE, HU, IL, IN, IS, JP, KE, KG, KH, KR, KZ, LA, LC, LE, LG, LI, LU, LV, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ				
US 2004186124	A1	20040923	US 2004-752342	20040106
PRAI US 2003-438152P	P	20030106		
US 2003-524972P	P	20031125		
US 2003-526358P	P	20031202		
OS MARPAT 141:157028				

L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STM
 AN 2003:950057 CAPLUS
 DN 140:16647
 TI Preparation of 2-aminopyridine-3-carboxamides as remedies for angiogenesis mediated diseases
 IN Askew, Benny; Adams, Jeffrey; Booker, Shon; Chen, Guoqing; Dipietro, Lucian V.; Elbaum, Daniel; Germain, Julie; Geuns-Meyer, Stephanie D.; Habgood, Gregory J.; Handley, Michael; Huang, Qi; Kim, Tae-seong; Li, Aiwon; Nishimura, Nobuko; Nomak, Rana; Patel, Vinod F.; Riahi, Babak; Kim, Joseph L.; Xi, Ning; Yang, Kevin; Yuan, Chester Chenguang
 PA Amgen Inc., USA
 SO U.S. Pat. Appl. Publ., 252 pp., Cont.-in-part of U.S. Ser. No. 46,681.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2003225106	A1	20031204	US 2002-197974	20020717
US 2003125339	A1	20030703	US 2002-46681	20020110
ZA 2003005197	A	20040319	ZA 2003-5197	20030704
WO 2004007458	A1	20040122	WO 2003-US2417	20030715
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, GU, HA, HE, HU, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CI, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI US 2001-261339P	P	20010112		
US 2001-323764P	P	20010919		
US 2002-46681	A2	20020110		
US 2002-197974	A	20020717		
OS MARPAT 140:16647				

L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STM
 AN 2003:913160 CAPLUS
 DN 139:39863
 TI Process for the preparation of a hydrate of an anthranilic acid derivative
 IN Hayman, David Frank; Wright, Michael
 PA Xenova Limited, UK
 SO PCT Int. Appl., 37 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003095447	A1	20031120	WO 2003-GB2060	20030513
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, GU, HA, HE, HU, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI US 2002-379759P	P	20020514		
OS MARPAT 139:39863				
RE.CNT 1				

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STM
 AN 2002:658116 CAPLUS
 DN 137:201332
 TI Preparation of heterocyclylalkylamine derivatives as remedies for angiogenesis mediated diseases
 IN Chen, Guoqing; Adams, Jeffrey; Bemis, Jean; Booker, Shon; Cai, Guolin; Croghan, Michael; Dipietro, Lucian; Dominguez, Celia; Elbaum, Daniel; Germain, Julie; Geuns-meyer, Stephanie; Handley, Michael; Huang, Qi; Kim, Joseph L.; Kim, Tae-seong; Kiselyov, Alexander; Ouyang, Xiaohu; Patel, Vinod F.; Smith, Leon M.; Stec, Markian; Tasker, Andrew; Xi, Ning; Xu, Shimin; Yuan, Chester Chenguang
 PA Amgen Inc., USA
 SO PCT Int. Appl., 502 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002066470	A1	20020829	WO 2002-US743	20020111
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003125339	A1	20030703	US 2002-46681	20020110
CA 2434277	AA	20020829	CA 2002-2434277	20020111
BR 200206435	A	20030923	BR 2002-6435	20020111
EP 1358184	A1	20031105	EP 2002-717325	20020111
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300324	A	20031215	EE 2003-324	20020111
JP 2004531484	T2	20041014	JP 2002-565984	20020111
ZA 2003005197	A	20040319	ZA 2003-5197	20030704
NO 2003003181	A	20030911	NO 2003-3181	20030711
PRAI US 2001-261339P	P	20010112		
US 2001-323764P	P	20010919		
US 2002-46681	A	20020110		
WO 2002-US743	W	20020111		
OS MARPAT 137:201332				
RE.CNT 19				

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

30/11/2004 10752342R>

L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

AN 1998:268489 CAPLUS

DN 128:321568

TI Anthranilic acid derivatives as multi drug resistance modulators
IN Ryder, Hamish; Ashworth, Philip Anthony; Roe, Michael John; Brumwell, Julie Elizabeth; Hunjan, Sukhjot; Folkes, Adrian John; Sanderson, Jason Terry; Williams, Susannah; Maximen, Levi Michael; et al.

PA Xenova Ltd., UK; Ryder, Hamish; Ashworth, Philip Anthony; Roe, Michael John; Brumwell, Julie Elizabeth; Hunjan, Sukhjot; Folkes, Adrian John; Sanderson, Jason Terry; Williams, Susannah; Maximen, Levi Michael

SO PCT Int. Appl., 203 pp.

CODEN: PIXXD2

DT Patent

LA English

FAM.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9817648	A1	19980430	WO 1997-GB2885	19971017
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, KE, LS, MW, SD, SE, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2268403	AA	19980430	CA 1997-2268403	19971017
AU 9746339	A1	19980515	AU 1997-46339	19971017
AU 741922	B2	20011213		
ZA 9709329	A	19990419	ZA 1997-9329	19971017
EP 934276	A1	19990811	EP 1997-945030	19971017
EP 934276	B1	20031217		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9711935	A	19990824	BR 1997-11935	19971017
GB 2334521	A1	19990825	GB 1999-8193	19971017
GB 2334521	B2	20001004		
CN 1241181	A	20000112	CN 1997-180708	19971017
JP 2001502683	T2	20010227	JP 1998-519108	19971017
RU 2195454	C2	20021227	RU 1999-109990	19971017
AT 256663	E	20040115	AT 1997-945030	19971017
ES 2210586	T3	20040701	ES 1997-945030	19971017
TW 498074	B	20020811	TW 1997-86115402	19971018
BG 103327	A	20001130	BG 1999-103327	19990413
NO 9901836	A	19990617	NO 1999-1836	19990416
KR 2000049278	A	20000725	KR 1999-703389	19990417
US 6218353	B1	20010417	US 1999-284642	19990609
HK 1019330	A1	20010112	HK 1999-103773	19990901
PRAI WO 1996-GB2552	A	19961018		
GB 1997-17576	A	19970819		
WO 1997-GB2885	W	19971017		

OS MARPAT 128:321568

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> d ibib abs hitstr tot

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS ON STN

ACCESSION NUMBER: 2004:610149 CAPLUS

DOCUMENT NUMBER: 141:157028

TITLE: Preparation of 2-carboxamido-3-aminothiophene derivatives for treatment of hyperproliferative disorder

INVENTOR(S): Wynne, Graham Michael; Doyle, Kevin; Ahmed, Saleh; Li,

An-hu; Kelly, John Fraser; Rasamison, Chrystelle; Pegg, Neil Anthony; Saba, Imaad; Thomas, Claire; Smyth, Don; Sadiq, Shazia; Newton, Gary; Dawson, Graham; Crew, Andrew Philip; Castelan, Arlindo Lucas

PATENT ASSIGNEE(S): Osi Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 49 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

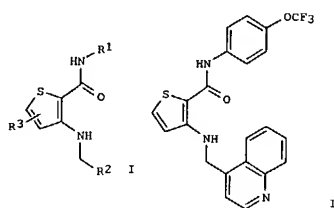
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063330	A2	20040729	WO 2004-US1188	20040106
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GM, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, NZ				
US 2004186124	A1	20040923	US 2004-752342	20040106
PRIORITY APPLN. INFO.:				
			US 2003-438152P	P 20030106
			US 2003-524972P	P 20031125
			US 2003-526358P	P 20031202

OTHER SOURCE(S): MARPAT 141:157028

GI

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



AB Title compds. I [wherein R1 = 4-F3COC6H5, 4-ClC6H4, 4-Br-3-MeC6H4, 2,2,3,3-tetrafluorobenzodioxan-6-yl; R2 = quinolin-4-yl, 2-MeNHC(=O)-pyridin-4-yl, pyrrolo[2,3-b]pyridin-3-yl, pyrrolo[2,3-b]pyridin-4-yl; R3 = alkyl; and pharmaceutically acceptable salts or N-oxides thereof]

were prepared as c-Kit tyrosine kinase inhibitors. For example, amidation

of Me 3-amino-2-thiophenecarboxylate with 4-trifluoromethoxyaniline, followed by condensation with quinoline-4-carboxaldehyde, gave II. I showed better activity inhibiting c-Kit kinase than the nearest similar thiophene compds. in the art. Thus, I and their pharmaceutical compns. are useful for the treatment of hyperproliferative disorders (no data).

IT 728033-96-3P 728033-98-5P 728033-99-6P
728034-00-2P 728034-01-3P 728034-04-6P
728034-06-8P 728034-07-9P 728034-08-0P
728034-09-1P 728034-11-5P 728034-14-8P
728034-15-9P 728034-16-0P 728034-17-1P
728034-18-2P 728034-19-3P 728034-20-6P

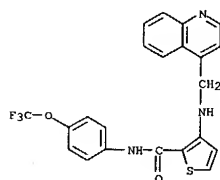
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-carboxamido-3-aminothiophene derivs. as c-Kit kinase inhibitors for treatment of hyperproliferative disorder)

RN 728033-96-3 CAPLUS

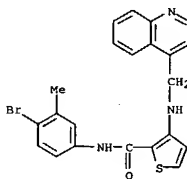
CN 2-Thiophenecarboxamide, 3-[(4-quinolinylmethyl)amino]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



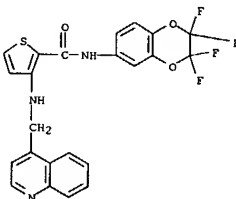
RN 728033-98-5 CAPLUS

CN 2-Thiophenecarboxamide, N-(4-bromo-3-methylphenyl)-3-[(4-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 728033-99-6 CAPLUS

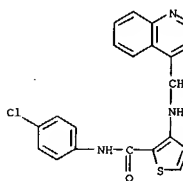
CN 2-Thiophenecarboxamide, 3-[(4-quinolinylmethyl)amino]-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)



RN 728034-00-2 CAPLUS

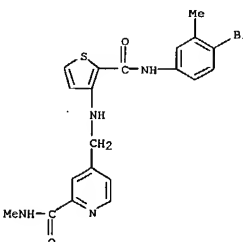
L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-3-[(4-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 728034-01-3 CAPLUS

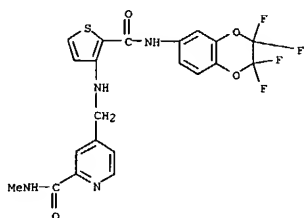
CN 2-Pyridinecarboxamide, 4-[[[2-[(4-bromo-3-methylphenyl)amino]carbonyl]-3-thienyl]amino]methyl]-N-methyl- (9CI) (CA INDEX NAME)



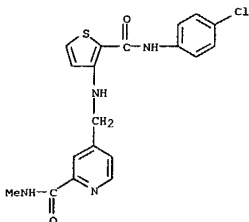
RN 728034-04-6 CAPLUS

CN 2-Pyridinecarboxamide, N-methyl-4-[[[2-[(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)amino]carbonyl]-3-thienyl]amino]methyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

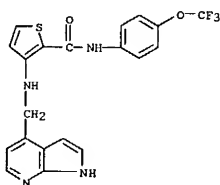


RN 728034-06-8 CAPLUS
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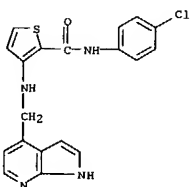


RN 728034-07-9 CAPLUS
 CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-3-[(1H-pyrrolo[2,3-b]pyridin-4-ylmethyl)amino]- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 CN 2-Thiophenecarboxamide, 3-[(1H-pyrrolo[2,3-b]pyridin-4-ylmethyl)amino]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

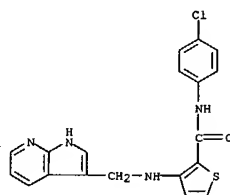


RN 728034-14-8 CAPLUS
 CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-3-[(1H-pyrrolo[2,3-b]pyridin-4-ylmethyl)amino]- (9CI) (CA INDEX NAME)

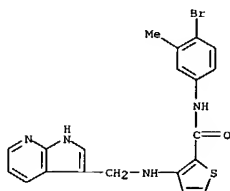


RN 728034-15-9 CAPLUS
 CN 2-Thiophenecarboxamide, 3-[(1H-pyrrolo[2,3-b]pyridin-4-ylmethyl)amino]-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

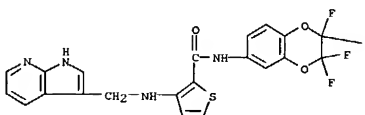
L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 728034-08-0 CAPLUS
 CN 2-Thiophenecarboxamide, N-(4-bromo-3-methylphenyl)-3-[(1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)amino]- (9CI) (CA INDEX NAME)

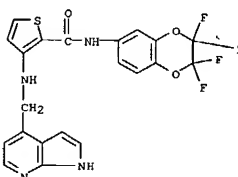


RN 728034-09-1 CAPLUS
 CN 2-Thiophenecarboxamide, 3-[(1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)amino]-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

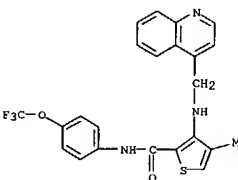


RN 728034-11-5 CAPLUS

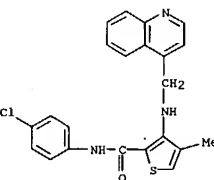
L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 728034-16-0 CAPLUS
 CN 2-Thiophenecarboxamide, 4-methyl-3-[(4-quinolinylmethyl)amino]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



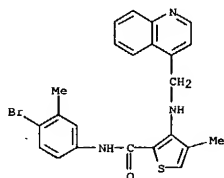
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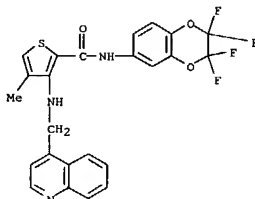
RN 728034-18-2 CAPLUS
 CN 2-Thiophenecarboxamide, N-(4-bromo-3-methylphenyl)-4-methyl-3-[(4-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)

30/11/2004 10752342R>

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 728034-19-3 CAPLUS
CN 2-Thiophenecarboxamide,
4-methyl-3-[(4-quinolinylmethyl)amino]-N-(2,2,3,3-
tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)



RN 728034-20-6 CAPLUS
CN 2-Thiophenecarboxamide, 3-[[[(1-oxido-4-quinolinyl)methyl]amino]-N-(4-
(trifluoromethoxy)phenyl))-9CI) (CA INDEX NAME)

L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:
DOCUMENT NUMBER:

2003:950057 CAPLUS
140:16647

TITLE:

Preparation of 2-aminopyridine-3-carboxamides as
remedies for angiogenesis mediated diseases
Askew, Benny; Adams, Jeffrey; Booker, Shon; Chen,
Guoqing; Dipietro, Lucian V.; Elbaum, Daniel;

INVENTOR(S):

Julie; Geuns-Meyer, Stephanie D.; Habgood, Gregory
J.;

J.;

German,

J.;

PATENT ASSIGNEE(S):

Handley, Michael; Huang, Qi; Kim, Tae-seong; Li,
Aiwon; Nishimura, Nobuko; Nomak, Rana; Patel, Vinod
F.; Riahi, Babak; Kim, Joseph L.; Xi, Ning; Yang,
Kevin; Yuan, Chester Chenguang
Amgen Inc., USA
U.S. Pat. Appl. Publ., 252 pp., Cont.-in-part of U.S.
Ser. No. 46,681.
CODEN: USXXCO

SOURCE:

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003225106	A1	20031204	US 2002-197974	20020717
US 2003125339	A1	20030703	US 2002-46681	20020110
ZA 2003005197	A	20040319	ZA 2003-5197	20030704
WO 2004007458	A1	20040122	WO 2003-US22417	20030715

W: AE, AG, AI, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
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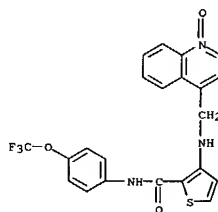
PRIORITY APPLN. INFO.:
US 2001-261339P P 20010112
US 2001-323764P P 20010919
US 2002-46681 A2 20020110
US 2002-197974 A 20020717

OTHER SOURCE(S):

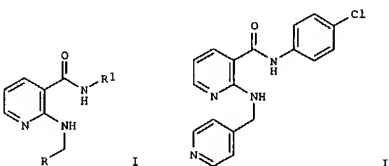
MARPAT 140:16647

GI

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



AB The title compds. [I; R = (un)substituted 4-pyridyl, 2-pyridyl,
4-pyrimidinyl, 4-quinolyl, etc.; R1 = (un)substituted aryl, cycloalkyl,
5-6 membered heteroaryl, 9-10 membered bicyclic and 11-14 membered
tricyclic heterocyclyl], which are effective for prophylaxis and
treatment

of diseases and other maladies or conditions involving, cancer and the
like, were prepared. Thus, the title compound II was prepared from
2-aminonicotinic acid, 4-chloroaniline, and 4-pyridinecarboxaldehyde.

The

compds. I showed inhibition of KDR kinase at < 50 μM. Many compds. I
inhibited VEGF-stimulated HUVEC proliferation at a level below 50 nM.
Pharmaceutical composition comprising the compound I is claimed.

IT

453560-98-0P 453561-00-7P 453561-01-8P

453561-02-9P

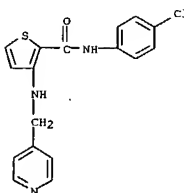
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

[preparation of 2-aminopyridine-3-carboxamides for treating
angiogenesis

mediated diseases)

RN 453560-98-0 CAPLUS

CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-3-[(4-pyridinylmethyl)amino]-
(9CI) (CA INDEX NAME)



RN 453561-00-7 CAPLUS

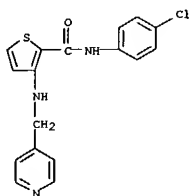
CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-3-[(4-pyridinylmethyl)amino]-,

30/11/2004 10752342R>

L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 453560-98-0
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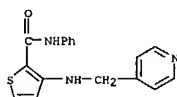


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 453561-01-9 CAPLUS
CN 2-Thiophenecarboxamide, N-phenyl-3-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 453561-02-9 CAPLUS
CN 2-Thiophenecarboxamide, N-phenyl-3-[(4-pyridinylmethyl)amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:913160 CAPLUS
DOCUMENT NUMBER: 139:399863
TITLE: Process for the preparation of a hydrate of an anthranilic acid derivative
INVENTOR(S): Hayman, David Frank; Wright, Michael
PATENT ASSIGNEE(S): Xenova Limited, UK
SOURCE: PCT Int. Appl., 37 pp.,
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003095447	A1	20031120	WO 2003-GB2060	20030513
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MW, MX, MY, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPL. INFO.: US 2002-379759P P 20020514

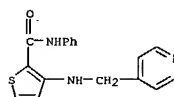
OTHER SOURCE(S): MARPAT 139:399863
AB A hydrate of an acid addition bis-salt of an anthranilic acid derivative is produced by a process, which comprises: (a) combining, in any order, the anthranilic acid derivative, a pharmaceutically acceptable organic solvent, an excess of water and a pharmaceutically acceptable strong acid to form a mixture; (b) warming the mixture until a clear solution forms; (c) filtering the solution while it is warm, to yield a filtrate; and (d) recovering the hydrate as defined above from the filtrate. The hydrate has a defined number of moles of water of crystallization and possesses better storage stability and dissoln. characteristics than conventionally produced hydrates of such acid addition bis-salts. Anthranilic acid derivs. and hydrates of their bis-salts are useful as inhibitors of P-glycoprotein for modulating P-glycoprotein mediated multidrug resistance in tumor treatment. For example, bis-mesylate hexahydrate of quinoline-3-carboxylic acid (2-[4-(2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl)-phenylcarbamoyl]-4,5-dimethoxy-phenyl)-amide was prepared, using acetone as antisolvent for recovery of hydrate from the filtrate.

IT 206872-34-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anthranilic acid derivs. and their salt hydrates as modulators of multidrug resistance in tumor treatment)
RN 206872-34-6 CAPLUS
CN 3-Quinolincarboxamide, N-[2-[[[4-(2-(3,4-dihydro-6,7-dimethoxy-2[1H]-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-3-thienyl]- (9CI) (CA INDEX

L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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CRN 453561-01-8
CMF C17 H15 N3 O S

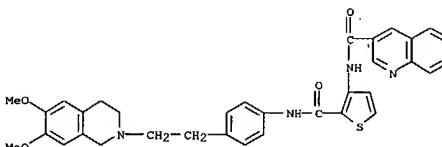


CM 2

CRN 76-05-1
CMF C2 H F3 O2



L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

30/11/2004 10752342R>

L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS ON STN

ACCESSION NUMBER: 2002:658116 CAPLUS
 DOCUMENT NUMBER: 137:201332
 TITLE: Preparation of heterocyclylalkylamine derivatives as
 remedies for angiogenesis mediated diseases
 INVENTOR(S): Chen, Guoqing; Adams, Jeffrey; Bemis, Jean; Booker,
 Shon; Cai, Guolin; Croghan, Michael; DiPietro,

Lucian; Dominguez, Celis; Elbaum, Daniel; Germain, Julie;
 Geuns-meyer, Stephanie; Handley, Michael; Huang, Qi;
 Kim, Joseph L.; Kim, Tae-seong; Kiselyov, Alexander;
 Quyang, Xiaohu; Patel, Vinod P.; Smith, Leon M.;

Stec, Markian; Tasker, Andrew; Xi, Ning; Xu, Shimin; Yuan,
 Chester Chenguang

PATENT ASSIGNEE(S): Amgen Inc., USA
 SOURCE: PCT Int. Appl., 502 pp.
 CODEN: F1XXD2

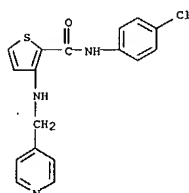
DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002066470	A1	20020829	WO 2002-US743	20020111
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003125339	A1	20030703	US 2002-46681	20020110
CA 2434277	AA	20020829	CA 2002-2434277	20020111
BR 2002006435	A	20030923	BR 2002-6435	20020111
EP 1358184	A1	20031105	EP 2002-717325	20020111
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300324	A	20031215	EE 2003-324	20020111
JP 2004531484	T2	20041014	JP 2002-565984	20020111
ZA 2003005197	A	20040319	ZA 2003-5197	20030704
NO 2003003181	A	20030911	NO 2003-3181	20030711
PRIORITY APPLN. INFO.:			US 2001-261339P	P 20010112
			US 2001-323764P	P 20010919
			US 2002-46681	A 20020110
			WO 2002-US743	W 20020111

OTHER SOURCE(S): MARPAT 137:201332
 GI

L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

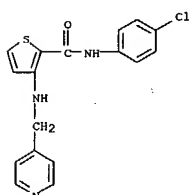
RN 453560-98-0 CAPLUS
 CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-3-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 453561-00-7 CAPLUS
 CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-3-[(4-pyridinylmethyl)amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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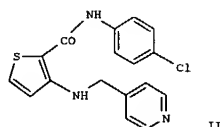
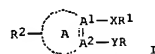
CRN 453560-98-0
 CMF C17 H14 Cl N3 O S



CM 2

CRN 76-05-1
 CMF C2 H F3 O2

L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



AB Title compds. [I: A1, A2 independently = C, N; A = 5-, or 6-membered partially saturated heterocyclyl, 5-, or 6-membered heterocyclyl, 9-, or 10-membered fused partially saturated heterocyclyl, 9-, 10-, or 11-membered fused heteroaryl, naphthyl, 4-, 5-, or 6-membered cycloalkenyl; X = C:2NR3, C:2N(R3)R4; Z = O, S; Y = N:CH, NR5(CR6R7), R8N(R3)(CR6R7), NR5(CR6R7)R8; R = 5-, or 6-membered (un)substituted heterocyclyl, 9-, 10-, 11-membered heterocyclyl; R1 = 6-10-membered (un)substituted aryl, 5-, or 6-membered (un)substituted heterocyclyl, 9-11 membered (un)substituted fused heterocyclyl, cycloalkyl, cycloalkenyl; R2 = H, halo, oxo, SH, COOH,

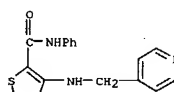
CHO; R3 = H, alkyl, 5-, or 6-membered heterocyclyl; R4 = alkylenyl, alkenylenyl, alkynylenyl; R5 = H, alkyl, aralkyl, C6H5; R6, R7 independently = H, halo, CN, alkyl; R6R7 = cycloalkyl; R8 = alkylenyl; etc.] are prepared and are effective for prophylaxis and treatment of diseases, such as angiogenesis mediated diseases. The invention encompasses novel compds., analogs, prodrugs and pharmaceutically acceptable derivs. thereof, pharmaceutical compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving, cancer and the like. The subject invention also relates to processes for making such compds. as well as to intermediates useful in such processes. Thus, the title compound II was prepared from Me 3-amino-2-thiophenecarboxylate, 4-chloroaniline, and 4-pyridine carboxaldehyde via coupling reaction.

IT 453561-02-9P 453561-00-7P 453561-01-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of heterocyclylalkylamine derivs. as remedies for angiogenesis mediated diseases)

L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



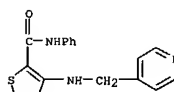
RN 453561-01-8 CAPLUS
 CN 2-Thiophenecarboxamide, N-phenyl-3-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 453561-02-9 CAPLUS
 CN 2-Thiophenecarboxamide, N-phenyl-3-[(4-pyridinylmethyl)amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 453561-01-8
 CMF C17 H15 N3 O S



CM 2

CRN 76-05-1
 CMF C2 H F3 O2



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

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L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1998:268489 CAPLUS
 DOCUMENT NUMBER: 128:321568
 TITLE: Anthranilic acid derivatives as multi drug resistance modulators
 INVENTOR(S): Ryder, Hamish; Ashworth, Philip Anthony; Roe, Michael John; Brumwell, Julie Elizabeth; Hunjan, Sukhjit; Folkes, Adrian John; Sanderson, Jason Terry;
 Williams, Susannah; Maximen, Levi Michael; et al.
 PATENT ASSIGNEE(S): Xenova Ltd., UK; Ryder, Hamish; Ashworth, Philip Anthony; Roe, Michael John; Brumwell, Julie Elizabeth;
 Hunjan, Sukhjit; Folkes, Adrian John; Sanderson, Jason
 SOURCE: Terry; Williams, Susannah; Maximen, Levi Michael
 PCT Int. Appl., 203 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9817648	A1	19980430	WO 1997-GB2885	19971017
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2268403	AA	19980430	CA 1997-2268403	19971017
AU 9746339	A1	19980515	AU 1997-46339	19971017
AU 741922	B2	20011213		
ZA 9709329	A	19990419	ZA 1997-9329	19971017
EP 934276	A1	19990811	EP 1997-945030	19971017
EP 934276	B1	20031217		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9711935	A	19990824	BR 1997-11935	19971017
GB 2334521	A1	19990825	GB 1999-8193	19971017
GB 2334521	B2	20001004		
CN 1241181	A	20000112	CN 1997-180708	19971017
JP 2001502683	T2	20010227	JP 1998-519108	19971017
RU 2195454	C2	20021227	RU 1999-109990	19971017
AT 256663	E	20040115	AT 1997-945030	19971017
ES 2210586	T3	20040701	ES 1997-945030	19971017
TW 498074	B	20020811	TW 1997-86115402	19971018
BG 103327	A	20000130	BG 1999-103327	19990413
NO 9901836	A	19990617	NO 1999-1836	19990416
KR 2000049278	A	20000725	KR 1999-703389	19990417
US 6218393	B1	20010417	US 1999-284642	19990609
HK 1019330	A1	20010112	HK 1999-103773	19990901

L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 PRIORITY APPL. INFO.: WO 1996-GB2552 A 19961018
 GB 1997-17576 A 19970819
 WO 1997-GB2885 W 19971017

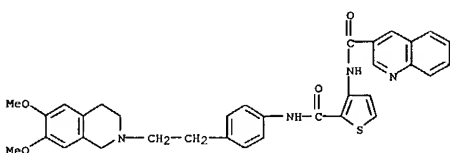
OTHER SOURCE(S): MARPAT 128:321568
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Anthranilic acid derivs. I [R, R1, R2 = H, alkyl, OH, alkoxy, halo, NO2, amino; or R1R2 = OCH2O or OCH2CH2O; R3 = H, alkyl; R4 = alkyl, or CH2 or CH2CH2 bridged to either Ph ring; R5 = H, OH, alkyl; X = bond, O, S, S(CH2)p, O(CH2)p; p = 1-6; R6 = H, alkyl, alkoxy; q = 0 or 1; Ar = (un)saturated carbocyclic or heterocyclic; R7, R8 = H, (un)substituted alkyl, alkoxy, OH, halo, Ph, NHOH, NO2, amino, SH, alkylthio; or R7R8 = CH:CHCH:CH or OCH2O; n = 0, 1; m = 0-6] and their pharmaceutically acceptable salts are disclosed. The compds. are inhibitors of P-glycoprotein, and may thus be used, inter alia, as modulators of multidrug resistance in the treatment of multidrug-resistant cancers, for example, to potentiate the cytotoxicity of a cancer drug. For instance, amidation of 3-quinolinecarboxylic acid with the corresponding aminothiophene derivative via the acid chloride gave title compound II

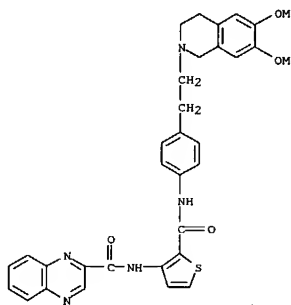
in 44% yield. In a test for potentiation of doxorubicin toxicity to AR 1.0 cells, II had a potentiation index of 142 at 30 nM.
 IT 206872-34-6P 206872-38-0P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of anthranilic acid derivs. as multi-drug resistance modulators)

RN 206872-34-6 CAPLUS
 CN 3-Quinolinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-3-thienyl]- (9CI) (CA INDEX NAME)



RN 206872-38-0 CAPLUS
 CN 2-Quinoxalinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-3-thienyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
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LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

30.42

186.47

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-3.50

-3.50

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